

John please

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

23566

Requestor's

Name:

Sabika Qazi

Serial

Number:

09/331,397

Date:

12/27/99

Phone:

305-3910

Art Unit:

1616

3B07

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

of treating PMDD (premenstrual dysphoric order)
Elected a method comprising administering both gestagen + estrogen. (cl 3).

As gestagen → drospirenone.

As estrogen → ethinylestradiol.

If above is not found please expand the search

Please see attached sheets for cls.

Inventor: Norman Washed

Priority: 12/22/76

BEST AVAILABLE COPY

STAFF USE ONLY

Date completed: 1-10-00

Searcher: JOHN DANTLIM

Terminal time: 60

Elapsed time:

CPU time:

Total time: 90

Number of Searches:

Number of Databases:

Search Site

STIC

CM-1

Pre-S

Type of Search

N.A. Sequence

A.A. Sequence

Structure

Bibliographic

Vendors

IG

STN

Dialog

APS

Geninfo

SDC

DARC/Questel

Other

=> d his

(FILE 'HOME' ENTERED AT 12:06:35 ON 10 JAN 2000)

FILE 'HCAPLUS' ENTERED AT 12:06:39 ON 10 JAN 2000

L1 47 S NASHED N?/AU
L2 1 S L1 AND PREMENST?
L3 1 S L1 AND GESTAG?
L4 1 S L2 OR L3
SELECT RN L4 1

FILE 'REGISTRY' ENTERED AT 12:07:18 ON 10 JAN 2000

L5 6 S E1-6

FILE 'HCAPLUS' ENTERED AT 12:07:26 ON 10 JAN 2000

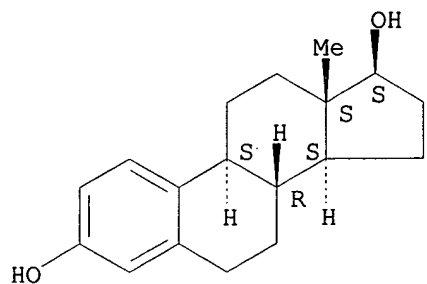
L6 1 S L4 AND L5

=> d bib abs hitstr

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:430231 HCAPLUS
DN 129:77031
TI Therapeutic **gestagens** for **premenstrual** dysphoric
disorder
IN **Nashed, Norman**
PA Schering A.-G., Germany
SO Ger. Offen., 4 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19654609	A1	19980625	DE 1996-19654609	19961220
	WO 9827929	A2	19980702	WO 1997-DE3032	19971222
	WO 9827929	A3	19981105		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9859810	A1	19980717	AU 1998-59810	19971222
PRAI	DE 1996-19654609		19961220		
	WO 1997-DE3032		19971222		
AB	Gestagens such as drospirenone, cyproterone acetate, and dienogest (optionally in combination with natural or synthetic estrogens such as estradiol or ethynylestradiol) are useful in prepn. of medications for treatment of premenstrual dysphoric disorder, possibly owing to their antiandrogenic action. Thus, women with premenstrual dysphoric disorder, treated daily with 3 mg drospirenone and 30 .mu.g ethynylestradiol orally on days 1-21 of the menstrual cycle for 4-6 cycles, showed a lessening of symptoms related to mood, appetite, sleep, etc.				
IT	50-28-2, Estradiol, biological studies 50-28-2D, Estradiol, esters 57-63-6, Ethynylestradiol 427-51-0, Cyproterone acetate 979-32-8, Estradiol valerate 65928-58-7, Dienogest 67392-87-4, Drospirenone				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic gestagens for premenstrual dysphoric disorder)				
RN	50-28-2 HCAPLUS				
CN	Estra-1,3,5(10)-triene-3,17-diol (17.beta.)- (9CI) (CA INDEX NAME)				

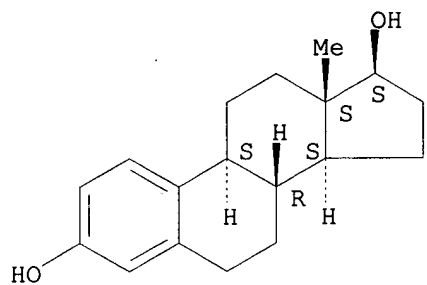
Absolute stereochemistry.



RN 50-28-2 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)- (9CI) (CA INDEX NAME)

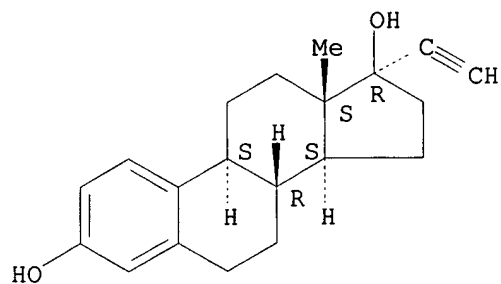
Absolute stereochemistry.



RN 57-63-6 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, (17.alpha.)- (9CI) (CA INDEX NAME)

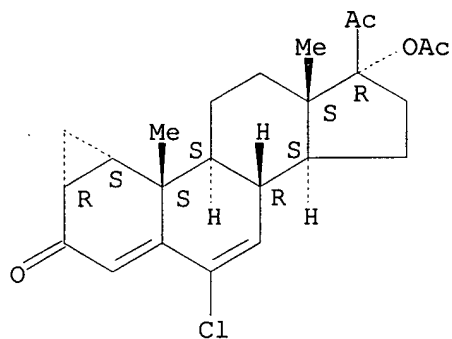
Absolute stereochemistry.



RN 427-51-0 HCAPLUS

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione,
17-(acetyloxy)-6-chloro-
1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

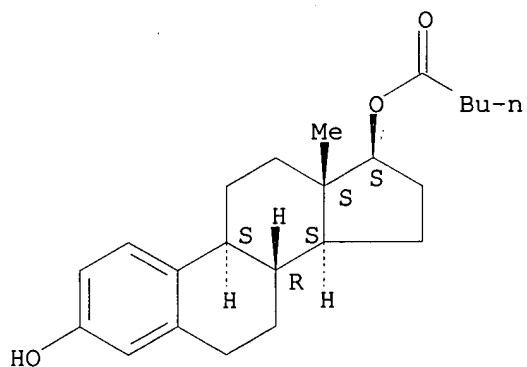
Absolute stereochemistry.



RN 979-32-8 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-pentanoate (9CI) (CA INDEX NAME)

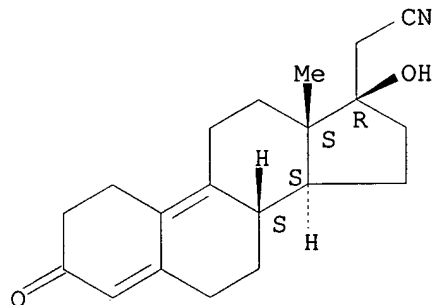
Absolute stereochemistry.



RN 65928-58-7 HCAPLUS

CN 19-Norpregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



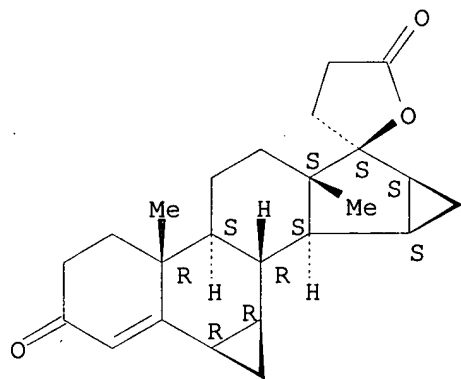
RN 67392-87-4 HCAPLUS

CN Spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'(5'H)-

Searched by John Dantzman 308-4488

furan]-3,5'(2H)-dione, 1,3',4',6,7,8,9,10,11,12,13,14,15,16,20,21-hexadecahydro-10,13-dimethyl-, (2'S,6R,7R,8R,9S,10R,13S,14S,15S,16S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'REGISTRY' ENTERED AT 12:28:31 ON 10 JAN 2000)

DEL HIS

L1 2 S DROSPIRENONE?/CN
L2 4 S CYPROTERONE ACETATE?/CN
L3 2 S DIENOGEST?/CN
L4 21 S ETHINYLESTRADIOL?/CN
L5 10 S (OESTRADIOL? OR OESTRIOL? OR OESTRONE? OR POLYESTRADIOL?)/CN
L6 14 S (FOSFESTROL? OR MESTRANOL? OR METHALLENOESTRIL? OR
MOXESTROL?
L7 19 S (EQUILIN? OR ESTROPIPATE? OR FOSFESTEROL? OR
HYDROXYESTRONE?)
L8 3 S (BROPARESTROL? OR CHLOROTRIANISENE? OR DIENOESTROL? OR
EPIEST
L9 3 S (POLYOESTRIOL OR PROMESTRIENE OR QUINESTRADOL OR
QUINESTROL)/
L10 12 S (STILBOESTROL? OR ZERANOL?)/CN

FILE 'HCAPLUS' ENTERED AT 12:54:08 ON 10 JAN 2000

FILE 'HCAPLUS' ENTERED AT 12:54:16 ON 10 JAN 2000

L11 2034 S GESTAGEN OR L1 OR L2 OR L3
L12 78634 S ESTROGEN OR L4-L10
L13 819 S L11 AND L12
L14 9 S L13 AND (PREMENSTRUAL? OR PMS OR PMDD)
L15 1 S L14 AND L1 AND L4
L16 8 S L14 NOT L15

FILE 'REGISTRY' ENTERED AT 12:58:27 ON 10 JAN 2000

L17 2 S (L1-L3) AND (L4-L10)

FILE 'HCAPLUS' ENTERED AT 12:59:01 ON 10 JAN 2000

L18 43 S L17
L19 0 S L18 AND (PREMENSTRUAL? OR PMS OR PMDD)

FILE 'REGISTRY' ENTERED AT 13:02:22 ON 10 JAN 2000

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 13:03:21 ON 10 JAN 2000

L20 585 S L17
L21 0 S 164017-31-6
L22 2940 S (L1-L3) AND (L4-L10)
L23 13 S L22 AND ((PREMENSTRUAL? OR PMS OR PMDD))
L24 12 DUP REMOV L23 (1 DUPLICATE REMOVED)
L25 0 S L23 AND L1 AND L4

FILE 'REGISTRY' ENTERED AT 13:06:49 ON 10 JAN 2000

SET SMARTSELECT ON

L26 SEL L1 1- CHEM : 9 TERMS
SET SMARTSELECT OFF

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 13:06:50 ON 10 JAN 2000

FILE 'REGISTRY' ENTERED AT 13:06:51 ON 10 JAN 2000

SET SMARTSELECT ON

L27 SEL L4 1- CHEM : 322 TERMS
Searched by John Dantzman 308-4488

SET SMARTSELECT OFF

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 13:07:00 ON 10 JAN 2000
L28 51 S L26
L29 23940 S L27
L30 18 S L28 AND L29
L31 0 S L30 AND (PREMENSTRUAL? OR PMS OR PMDD)
L32 4 S L30 AND MENSTRUAL?
L33 2 DUP REMOV L32 (2 DUPLICATES REMOVED)

FILE 'HCAPLUS' ENTERED AT 13:15:37 ON 10 JAN 2000
S 164017-31-6/REG#

FILE 'REGISTRY' ENTERED AT 13:15:54 ON 10 JAN 2000
L34 1 S 164017-31-6/RN

FILE 'HCAPLUS' ENTERED AT 13:15:54 ON 10 JAN 2000
L35 1 S L34

FILE 'WPIDS, JICST-EPLUS, LIFESCI, BIOBUSINESS, BIOTECHDS, SCISEARCH,
PHIN, PHIC' ENTERED AT 13:17:00 ON 10 JAN 2000
L36 30 S DROSPIRENONE
L37 1045 S ETHINYLESTRADIOL
L38 7 S L36 AND L37
L39 0 S L38 AND (PREMENSTRUAL? OR PMS OR PMDD)
L40 2 S L38 AND MENSTRUAL?
L41 2 DUP REMOV L40 (0 DUPLICATES REMOVED)

FILE 'HCAPLUS' ENTERED AT 13:19:11 ON 10 JAN 2000
L42 20 S L1 AND L4
L43 10 S L42 AND ?MENSTRUAL?

=> d bib abs hitstr 115

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2000 ACS

AN 1998:430231 HCAPLUS

DN 129:77031

TI Therapeutic **gestagens** for **premenstrual** dysphoric disorder

IN Nashed, Norman

PA Schering A.-G., Germany

SO Ger. Offen., 4 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19654609	A1	19980625	DE 1996-19654609	19961220
	WO 9827929	A2	19980702	WO 1997-DE3032	19971222
	WO 9827929	A3	19981105		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9859810 A1 19980717 AU 1998-59810 19971222

PRAI DE 1996-19654609 19961220

WO 1997-DE3032 19971222

AB **Gestagens** such as drospirenone, cyproterone acetate, and dienogest (optionally in combination with natural or synthetic **estrogens** such as estradiol or ethynylestradiol) are useful in prepn. of medications for treatment of **premenstrual** dysphoric disorder, possibly owing to their antiandrogenic action. Thus, women

with **premenstrual** dysphoric disorder, treated daily with 3 mg drospirenone and 30 .mu.g ethynylestradiol orally on days 1-21 of the menstrual cycle for 4-6 cycles, showed a lessening of symptoms related to mood, appetite, sleep, etc.

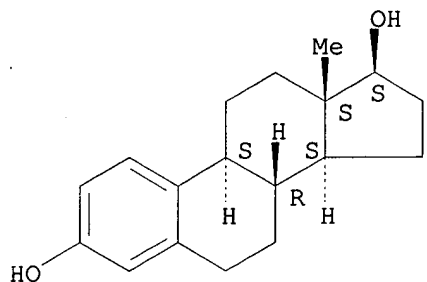
IT 50-28-2, Estradiol, biological studies 50-28-2D, Estradiol, esters 57-63-6, Ethynylestradiol 427-51-0, Cyproterone acetate 979-32-8, Estradiol valerate 65928-58-7, Dienogest 67392-87-4, Drospirenone

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic **gestagens** for **premenstrual** dysphoric disorder)

RN 50-28-2 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)- (9CI) (CA INDEX NAME)

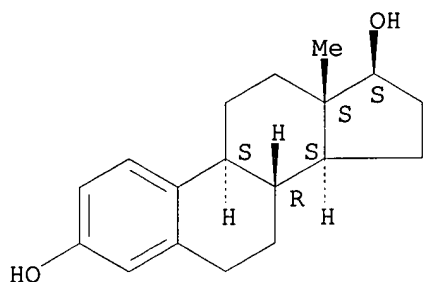
Absolute stereochemistry.



RN 50-28-2 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)- (9CI) (CA INDEX NAME)

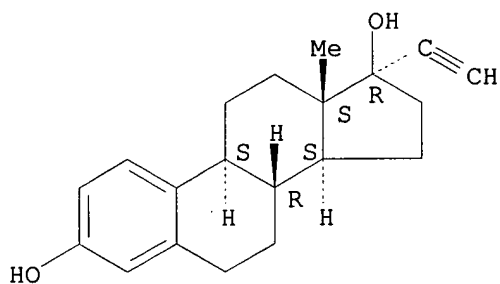
Absolute stereochemistry.



RN 57-63-6 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, (17.alpha.)- (9CI) (CA INDEX NAME)

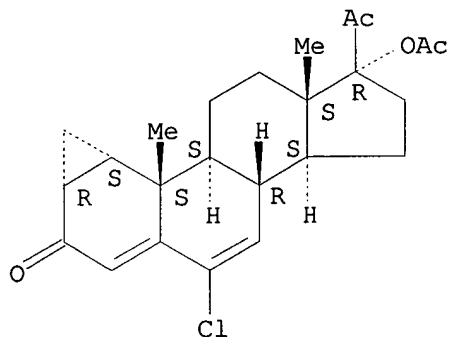
Absolute stereochemistry.



RN 427-51-0 HCAPLUS

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione,
17-(acetyloxy)-6-chloro-
1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

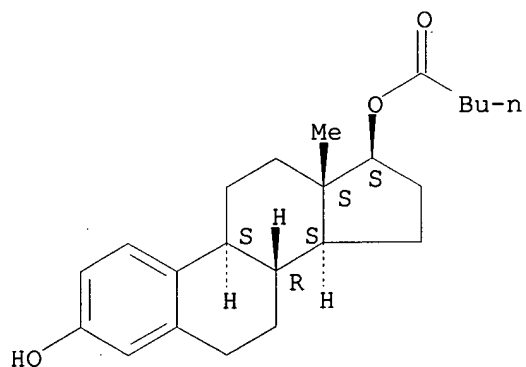
Absolute stereochemistry.



RN 979-32-8 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-pentanoate (9CI) (CA INDEX NAME)

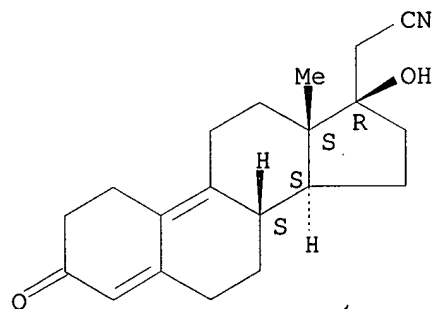
Absolute stereochemistry.



RN 65928-58-7 HCAPLUS

CN 19-Norpregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



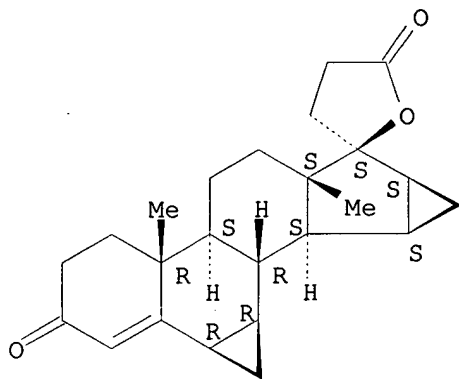
RN 67392-87-4 HCAPLUS

CN Spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'(5'H)-

Searched by John Dantzman 308-4488

furan]-3,5'(2H)-dione, 1,3',4',6,7,8,9,10,11,12,13,14,15,16,20,21-hexadecahydro-10,13-dimethyl-, (2'S,6R,7R,8R,9S,10R,13S,14S,15S,16S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 1

123. ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:260073 HCAPLUS

DN 132:298833

TI Combination of **gestagens** and sugars

IN Hoefert, Peter; Backensfeld, Thomas

PA Schering Aktiengesellschaft, Germany

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

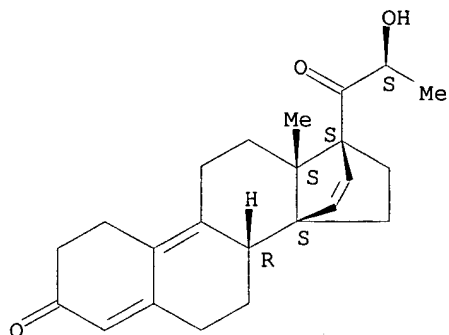
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021570	A1	20000420	WO 1999-EP7711	19991013
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19848303	A1	20000420	DE 1998-19848303	19981014
	AU 9963389	A1	20000501	AU 1999-63389	19991013
	EP 1121152	A1	20010808	EP 1999-950719	19991013
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	DE 1998-19848303	A	19981014		
	WO 1999-EP7711	W	19991013		
OS	MARPAT 132:298833				
AB	A combination of .gtoreq.1 gestagen and a .beta.- or .gamma.-cyclodextrin or ether or ester thereof, wherein the gestagen is a 14,17-ethano-bridged steroid, is used as an oral medicament in the treatment of premenstrual and climacteric complaints and fertility control. The gestagen is preferably (21S)-21-hydroxy-21-methyl-14,17-ethano-19-norpregna-4,9,15-triene-3,20-dione. The cyclodextrin stabilizes the gestagen by inhibiting the acyloin rearrangement in the side chain and inhibiting oxidative degrdn. during storage. The cyclodextrin- gestagen complex is rapidly dissocd. in the intestine and the gestagen is resorbed.				
IT	264186-52-9 264186-53-0 264186-54-1				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of gestagens and sugars)				
RN	264186-52-9 HCAPLUS				
CN	14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

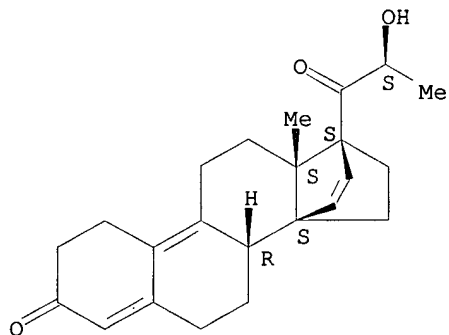


RN 264186-53-0 HCAPLUS
 CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)-, compd. with .beta.-cyclodextrin (9CI) (CA INDEX NAME)

CM 1

CRN 264186-52-9
 CMF C23 H28 O3

Absolute stereochemistry.

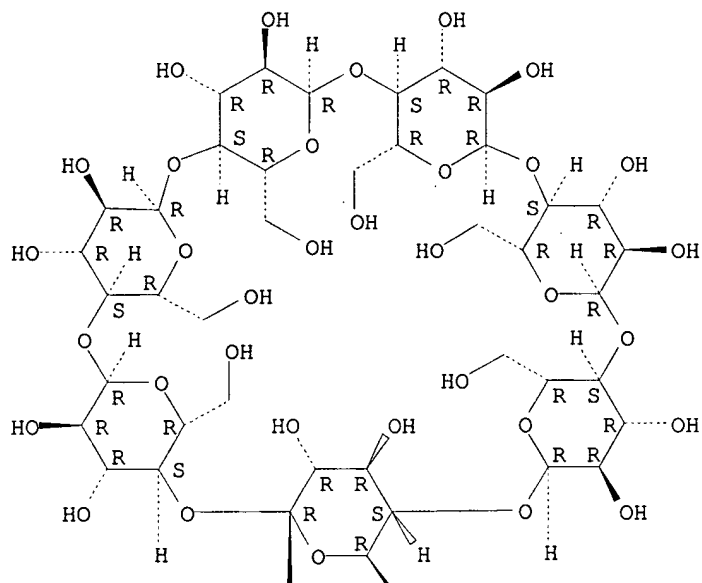


CM 2

CRN 7585-39-9
 CMF C42 H70 O35
 CDES 6:B-CYCLODEXTRIN

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

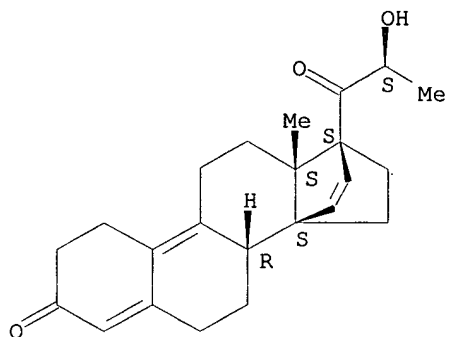


RN 264186-54-1 HCAPLUS
 CN 14,21-Cyclo-19-norpregna-4,9,15-trien-3-one, 17-[(2S)-2-hydroxy-1-oxopropyl]-, (17.alpha.)-, compd. with .gamma.-cyclodextrin (9CI) (CA INDEX NAME)

CM 1

CRN 264186-52-9
 CMF C23 H28 O3

Absolute stereochemistry.

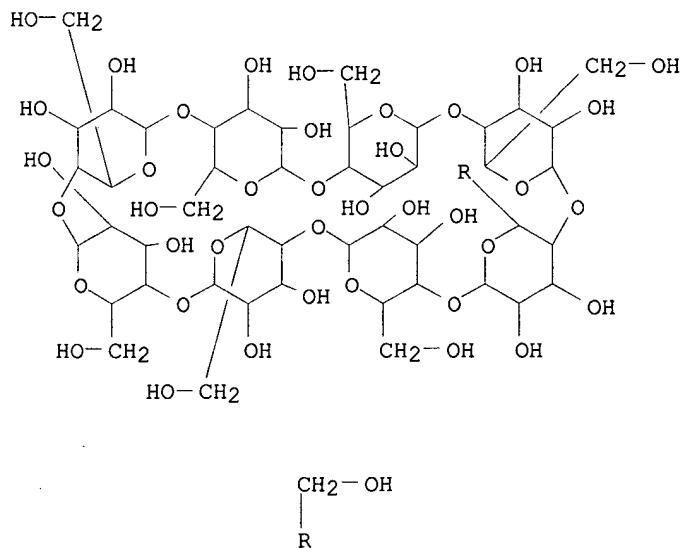


CM 2

CRN 17465-86-0

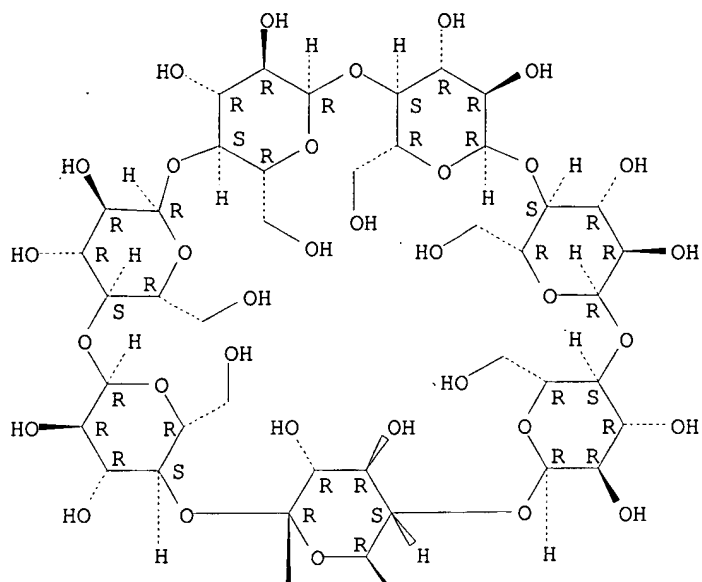
CMF C48 H80 O40

CDES 6: GAMMA-CYCLODEXTRIN

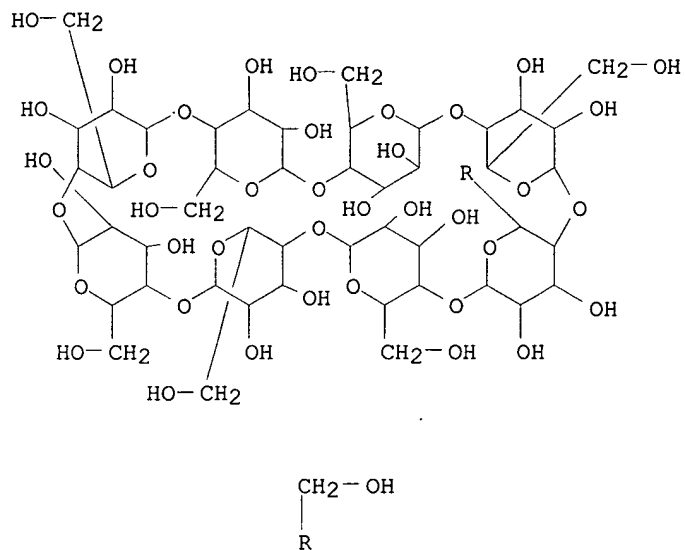


IT 7585-39-9D, .beta.-Cyclodextrin, complexes 17465-86-0D,
 .gamma.-Cyclodextrin, complexes
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination of **gestagens** and sugars)
 RN 7585-39-9 HCAPLUS
 CN .beta.-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17465-86-0 HCAPLUS
CN .gamma.-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)



RE.CNT 6

RE

- (1) American Maize Prod Co; WO 9001320 A 1990 HCAPLUS
 - (2) Besins Iscovesco Sa; EP 0477107 A 1992 HCAPLUS
 - (3) Hermens, W; EP 0349091 A 1990 HCAPLUS
 - (4) Lipari, J; US 4383992 A 1983 HCAPLUS
 - (5) Schering Ag; WO 9602277 A 1996 HCAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 2

L23 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2001 ACS

AN 1986:186722 HCAPLUS

DN 104:186722

TI 6,6-Ethylene-15,16-methylene-3-oxo-17.alpha.-pregn-4-ene-21,17-carbolactones and pharmaceutical preparations containing them

IN Nickisch, Klaus; Bittler, Dieter; Laurent, Henry; Wiechert, Rudolf; Beier, Sybille; Elger, Walter

PA Schering A.-G., Fed. Rep. Ger.

SO Ger. Offen., 21 pp.

CODEN: GWXXBX

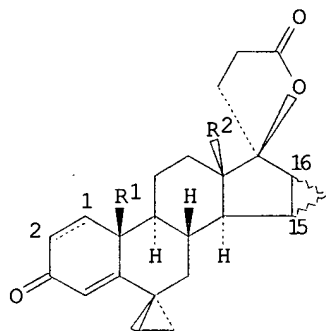
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3402329	A1	19850801	DE 1984-3402329	19840120
	DK 8500153	A	19850721	DK 1985-153	19850111
	DK 164326	B	19920609		
	DK 164326	C	19921102		
	FI 8500151	A	19850721	FI 1985-151	19850114
	FI 82252	B	19901031		
	FI 82252	C	19910211		
	IL 74066	A1	19880731	IL 1985-74066	19850116
	EP 150157	A2	19850731	EP 1985-730004	19850117
	EP 150157	A3	19850828		
	EP 150157	B1	19880727		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 35995	E	19880815	AT 1985-730004	19850117
	NO 8500224	A	19850722	NO 1985-224	19850118
	NO 164546	B	19900709		
	NO 164546	C	19901017		
	AU 8537912	A1	19850801	AU 1985-37912	19850118
	AU 568715	B2	19880107		
	JP 60161997	A2	19850823	JP 1985-6034	19850118
	JP 05064638	B4	19930916		
	ZA 8500441	A	19850925	ZA 1985-441	19850118
	DD 232281	A5	19860122	DD 1985-272644	19850118
	HU 37631	A2	19860123	HU 1985-221	19850118
	HU 192291	B	19870528		
	ES 539683	A1	19860401	ES 1985-539683	19850118
	US 4584288	A	19860422	US 1985-692489	19850118
	CA 1267888	A1	19900417	CA 1985-472508	19850121
	NO 8900473	A	19850722	NO 1989-473	19890206
	NO 164244	B	19900605		
	NO 164244	C	19900919		
	FI 86191	B	19920415	FI 1990-2521	19900522
	FI 86191	C	19920727		
PRAI	DE 1984-3402329		19840120		
	FI 1985-151		19850114		
	EP 1985-730004		19850117		
	NO 1985-224		19850118		

GI



AB Pregnenecarbolactones I (R1 = H, Me; R2 = Me, Et; .DELTA.1 is present or absent; 15,16-CH2 bonds are both .alpha. or .beta.) (6 compds.) were prepd., and are useful as **gestagens** (active at 0.03 mg s.c. in the modified Clauberg test), in the treatment of premenstrual difficulties at 1-20 mg/day, and as aldosterone antagonists (.ltoreq.5 times as active as spironolactone). Thus, I (R1 = R2 = Me, .DELTA.1 absent, 15,16-CH2 = .beta.) was prepd. in 4 steps from 15.beta.,16.beta.-methylene-3-oxo-17.alpha.-pregn-4-ene-21,17-carbolactone via the pyrrolidine enamine.

IT **107-21-1**, reactions
 RL: RCT (Reactant)
 (acetalization of, with estrenedione deriv.)

RN 107-21-1 HCAPLUS

CN 1,2-Ethanediol (9CI) (CA INDEX NAME)

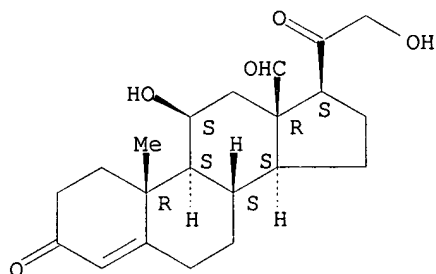
HO-CH₂-CH₂-OH

IT **52-39-1**
 RL: RCT (Reactant)
 (pregnenecarbolactones as antagonists to)

RN 52-39-1 HCAPLUS

CN Pregn-4-en-18-al, 11,21-dihydroxy-3,20-dioxo-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



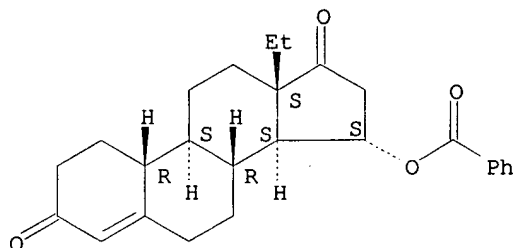
IT **101765-44-0P 101765-59-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and acetalization and isomerization of)

RN 101765-44-0 HCAPLUS

CN Gon-4-ene-3,17-dione, 15-(benzoyloxy)-13-ethyl-, (15.alpha.)- (9CI) (CA

INDEX NAME)

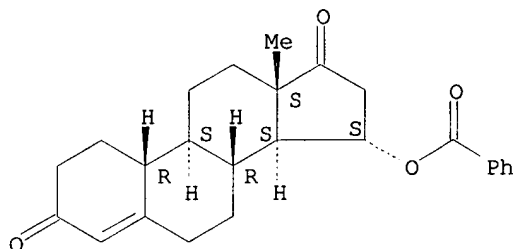
Absolute stereochemistry.



RN 101765-59-7 HCAPLUS

CN Estr-4-ene-3,17-dione, 15-(benzoyloxy)-, (15.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



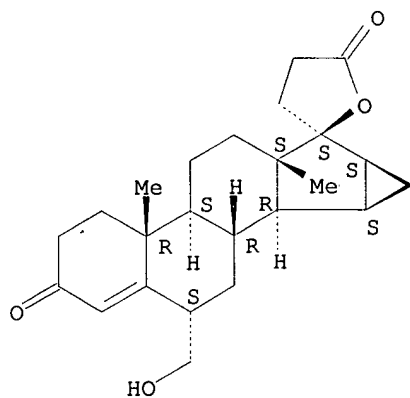
IT 101765-34-8P 101765-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dehydration of)

RN 101765-34-8 HCAPLUS

CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-6-(hydroxymethyl)-3-oxo-, .gamma.-lactone,
(6.alpha.,15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

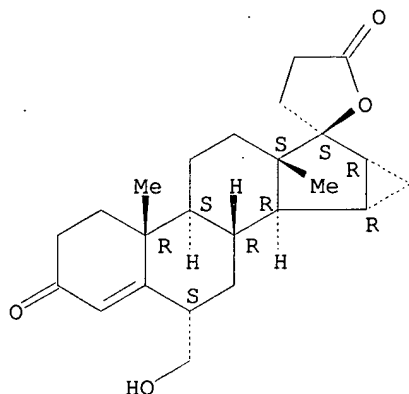
Absolute stereochemistry.



RN 101765-38-2 HCAPLUS

CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-6-(hydroxymethyl)-3-oxo-, .gamma.-lactone,
(6.alpha.,15.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

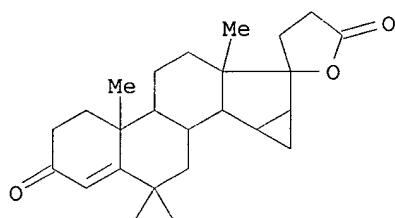


IT 101765-35-9P 101834-17-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dehydrogenation of)

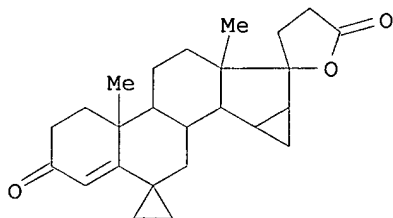
RN 101765-35-9 HCAPLUS

CN Dispiro[cyclopropane-1,6' (17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-
17',2'' (5'H)-furan]-3',5'' (2'H)-dione, 1',3'',4'',7',8',9',10',11',12',13
,14',15',16',20'-tetradecahydro-10',13'-dimethyl-, [8'S-
(8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.beta.,16'.beta.,17
' .alpha.)]- (9CI) (CA INDEX NAME)



RN 101834-17-7 HCAPLUS

CN Dispiro[cyclopropane-1,6' (17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-
17',2'' (5'H)-furan]-3',5'' (2'H)-dione, 1',3'',4'',7',8',9',10',11',12',13
,14',15',16',20'-tetradecahydro-10',13'-dimethyl-, [8'S-
(8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.alpha.,16'.alpha.,
17'.alpha.)]- (9CI) (CA INDEX NAME)



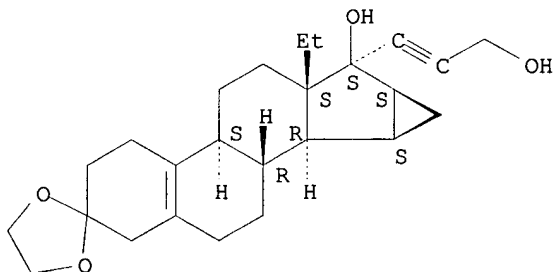
IT 101765-49-5P 101765-64-4P 101798-05-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenation of)

RN 101765-49-5 HCAPLUS

CN 3'H-Cyclopropa[15,16]gona-5(10),15-dien-3-one, 13-ethyl-15,16-dihydro-17-hydroxy-17-(3-hydroxy-1-propynyl)-, cyclic 1,2-ethanediyl acetal, (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

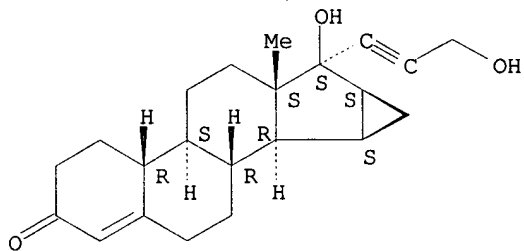
Absolute stereochemistry.



RN 101765-64-4 HCAPLUS

CN 3'H-Cycloprop[15,16]estra-4,15-dien-3-one, 15,16-dihydro-17-hydroxy-17-(3-hydroxy-1-propynyl)-, (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

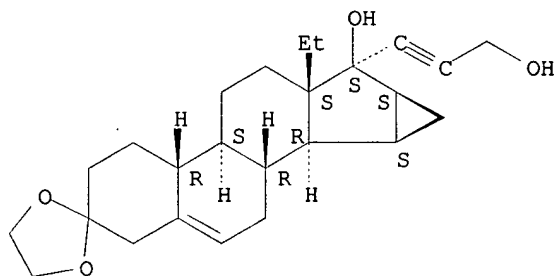
Absolute stereochemistry.



RN 101798-05-4 HCAPLUS

CN 3'H-Cyclopropa[15,16]gona-5,15-dien-3-one, 13-ethyl-15,16-dihydro-17-hydroxy-17-(3-hydroxy-1-propynyl)-, cyclic 1,2-ethanediyl acetal, (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



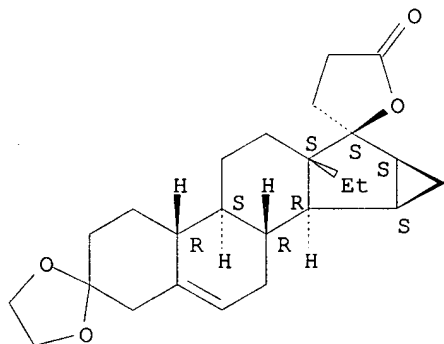
IT 101765-52-0P 101765-53-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis and isomerization of)

RN 101765-52-0 HCAPLUS

CN 3'-H-Cyclopropa[15,16]-18,19-dinorpregna-5,15-diene-21-carboxylic acid,
3,3-[1,2-ethanediylbis(oxy)]-13-ethyl-15,16-dihydro-17-hydroxy-,
.gamma.-lactone, (15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

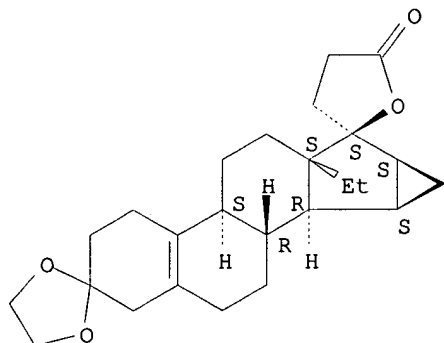
Absolute stereochemistry.



RN 101765-53-1 HCAPLUS

CN 3'-H-Cyclopropa[15,16]-18,19-dinorpregna-5(10),15-diene-21-carboxylic acid,
3,3-[1,2-ethanediylbis(oxy)]-13-ethyl-15,16-dihydro-17-hydroxy-,
.gamma.-lactone, (15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 101765-33-7P 101765-37-1P 101765-40-6P

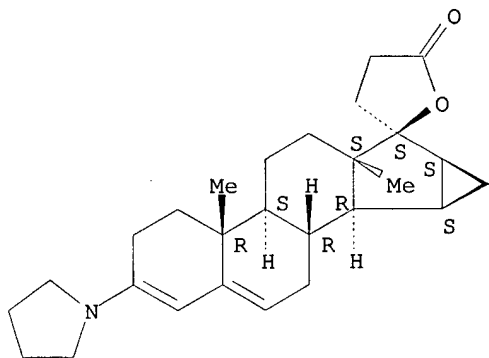
101765-55-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydroxymethylation of)

RN 101765-33-7 HCAPLUS

CN 3'H-Cyclopropa[15,16]pregna-3,5,15-triene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-3-(1-pyrrolidinyl)-, .gamma.-lactone,
(15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

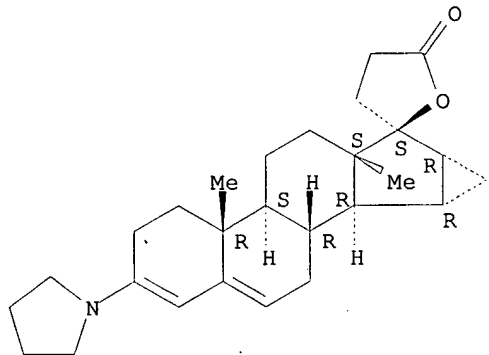
Absolute stereochemistry.



RN 101765-37-1 HCAPLUS

CN 3'H-Cyclopropa[15,16]pregna-3,5,15-triene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-3-(1-pyrrolidinyl)-, .gamma.-lactone,
(15.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

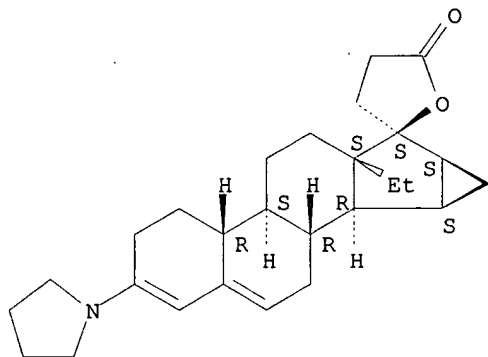
Absolute stereochemistry.



RN 101765-40-6 HCAPLUS

CN 3'H-Cyclopropa[15,16]-18,19-dinorpregna-3,5,15-triene-21-carboxylic acid,
13-ethyl-15,16-dihydro-17-hydroxy-3-(1-pyrrolidinyl)-, .gamma.-lactone,
(15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

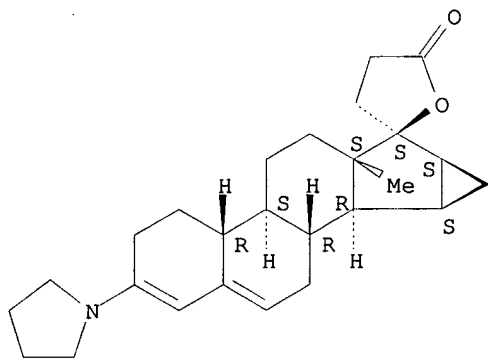
Absolute stereochemistry.



RN 101765-55-3 HCAPLUS

CN 3'H-Cyclopropa[15,16]-19-norpregna-3,5,15-triene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-3-(1-pyrrolidinyl)-, .gamma.-lactone,
(15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



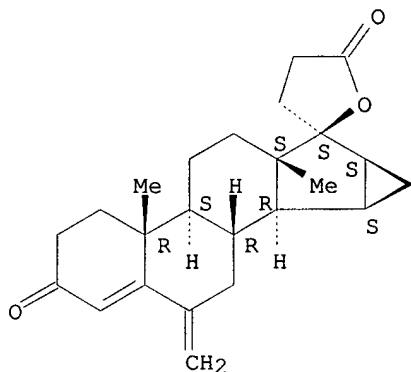
IT 84529-99-7P 101765-42-8P 101765-45-1P
101765-46-2P 101765-57-5P 101765-60-0P
101765-61-1P 101834-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and methylenation of)

RN 84529-99-7 HCAPLUS

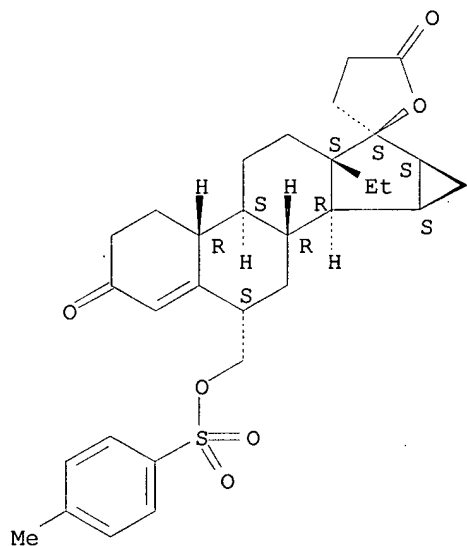
CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-6-methylene-3-oxo-, .gamma.-lactone,
(15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



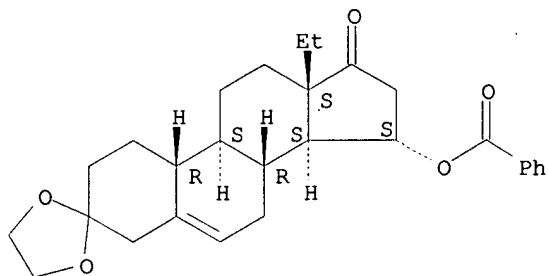
RN 101765-42-8 HCAPLUS
 CN 3'H-Cyclopropa[15,16]-18,19-dinorpregna-4,15-diene-21-carboxylic acid,
 13-ethyl-15,16-dihydro-17-hydroxy-6-[[[(4-methylphenyl)sulfonyl]oxy]methyl
]-3-oxo-, .gamma.-lactone, (6.alpha.,15.alpha.,16.alpha.,17.alpha.)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 101765-45-1 HCAPLUS
 CN Gon-5-ene-3,17-dione, 15-(benzoyloxy)-13-ethyl-, cyclic 3-(1,2-ethanediyl
 acetal), (15.alpha.)- (9CI) (CA INDEX NAME)

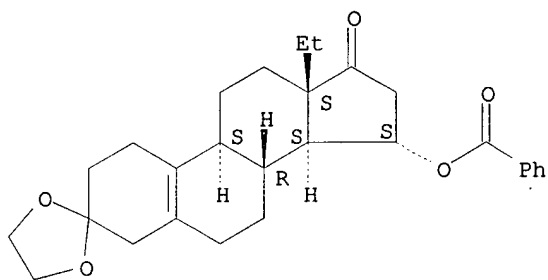
Absolute stereochemistry.



RN 101765-46-2 HCAPLUS

CN Gon-5(10)-ene-3,17-dione, 15-(benzoyloxy)-13-ethyl-, cyclic
3-(1,2-ethanediyl acetal), (15.alpha.)- (9CI) (CA INDEX NAME)

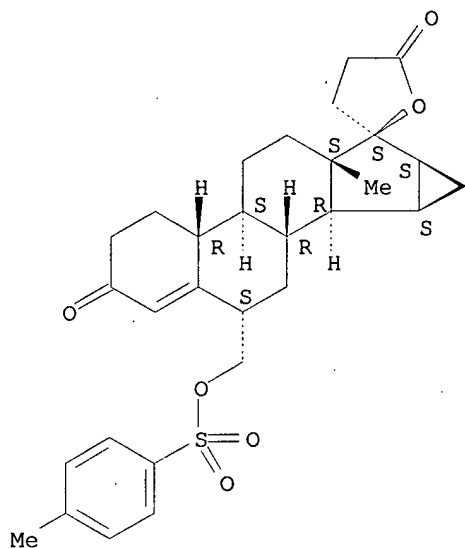
Absolute stereochemistry.



RN 101765-57-5 HCAPLUS

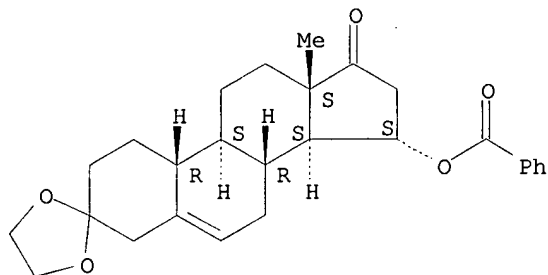
CN 3'H-Cyclopropa[15,16]-19-norpregna-4,15-diene-21-carboxylic acid,
15,16-dihydro-17-hydroxy-6-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3-oxo-,
.gamma.-lactone, (6.alpha.,15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



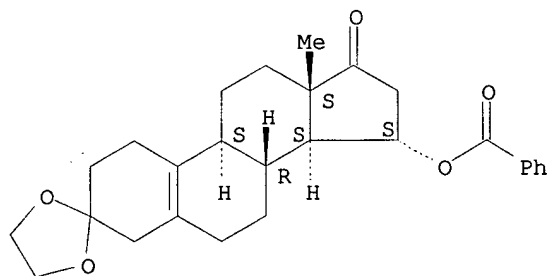
RN 101765-60-0 HCAPLUS
 CN Estr-5-ene-3,17-dione, 15-(benzoyloxy)-, cyclic 3-(1,2-ethanediyl acetal),
 (15.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



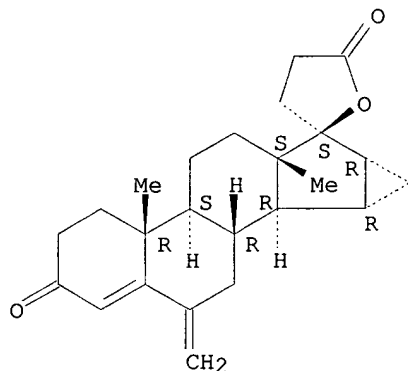
RN 101765-61-1 HCAPLUS
 CN Estr-5(10)-ene-3,17-dione, 15-(benzoyloxy)-, cyclic 3-(1,2-ethanediyl
 acetal), (15.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



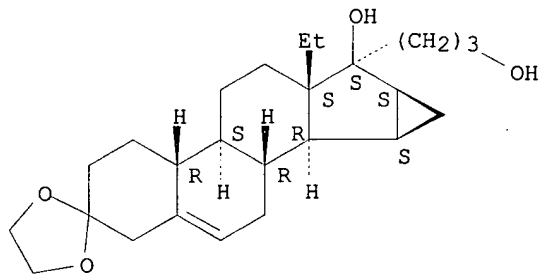
RN 101834-16-6 HCAPLUS
 CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,
 15,16-dihydro-17-hydroxy-6-methylene-3-oxo-, .gamma.-lactone,
 (15.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



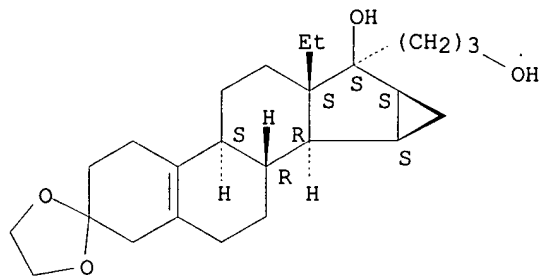
IT 101765-50-8P 101765-51-9P 101765-65-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and oxidn. and lactonization of)
 RN 101765-50-8 HCAPLUS
 CN 3'H-Cyclopropa[15,16]gona-5,15-dien-3-one, 13-ethyl-15,16-dihydro-17-
 hydroxy-17-(3-hydroxypropyl)-, cyclic 1,2-ethanediyl acetal,
 (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 101765-51-9 HCAPLUS
 CN 3'H-Cyclopropa[15,16]gona-5(10),15-dien-3-one, 13-ethyl-15,16-dihydro-17-
 hydroxy-17-(3-hydroxypropyl)-, cyclic 1,2-ethanediyl acetal,
 (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

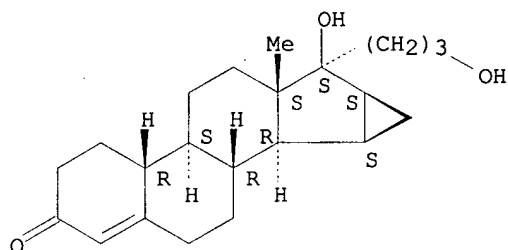
Absolute stereochemistry.



RN 101765-65-5 HCAPLUS

CN 3'H-Cycloprop[15,16]estra-4,15-dien-3-one, 15,16-dihydro-17-hydroxy-17-(3-hydroxypropyl)-, (15.alpha.,16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 101765-47-3P 101765-48-4P 101765-62-2P

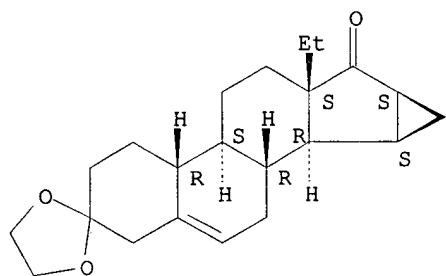
101765-63-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with propargyl alc.)

RN 101765-47-3 HCAPLUS

CN 3'H-Cyclopropa[15,16]gona-5,15-diene-3,17-dione, 13-ethyl-15,16-dihydro-, cyclic 3-(1,2-ethanediyl acetal), (15.alpha.,16.alpha.)- (9CI) (CA INDEX NAME)

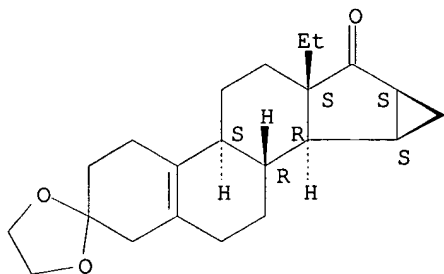
Absolute stereochemistry.



RN 101765-48-4 HCAPLUS

CN 3'H-Cyclopropa[15,16]gona-5(10),15-diene-3,17-dione, 13-ethyl-15,16-dihydro-, cyclic 3-(1,2-ethanediyl acetal), (15.alpha.,16.alpha.)- (9CI)
(CA INDEX NAME)

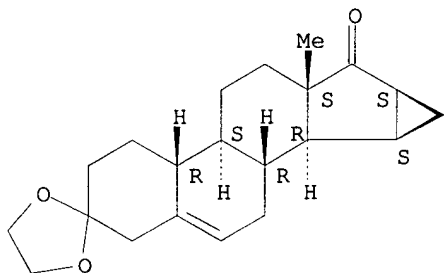
Absolute stereochemistry.



RN 101765-62-2 HCAPLUS

CN 3'H-Cycloprop[15,16]estra-5,15-diene-3,17-dione, 15,16-dihydro-, cyclic 3-(1,2-ethanediyl acetal), (15.alpha.,16.alpha.)- (9CI) (CA INDEX NAME)

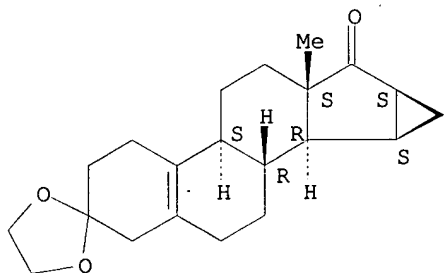
Absolute stereochemistry.



RN 101765-63-3 HCAPLUS

CN 3'H-Cyclopropa[15,16]estra-5(10),15-diene-3,17-dione, 15,16-dihydro-, cyclic 3-(1,2-ethanediyl acetal), (15.alpha.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



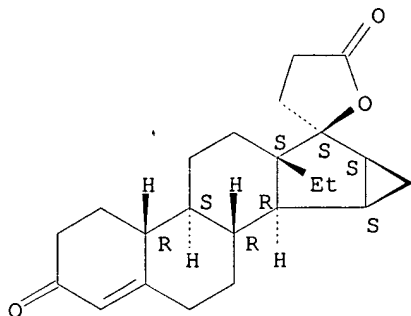
IT 101765-39-3P 101765-54-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with pyrrolidine)

RN 101765-39-3 HCAPLUS

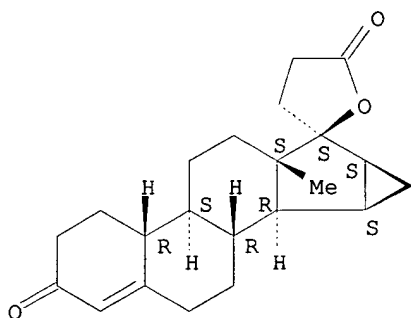
CN 3'H-Cyclopropa[15,16]-18,19-dinorpregna-4,15-diene-21-carboxylic acid, 13-ethyl-15,16-dihydro-17-hydroxy-3-oxo-, .gamma.-lactone, (15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



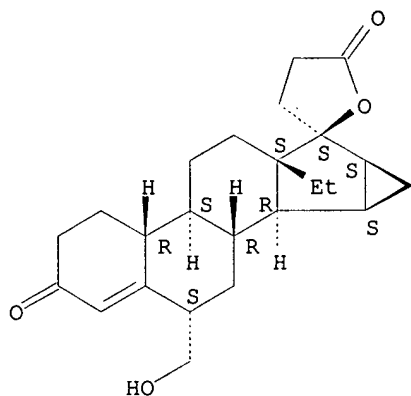
RN 101765-54-2 HCAPLUS
 CN 3'H-Cyclopropa[15,16]-19-norpregna-4,15-diene-21-carboxylic acid,
 15,16-dihydro-17-hydroxy-3-oxo-, .gamma.-lactone,
 (15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



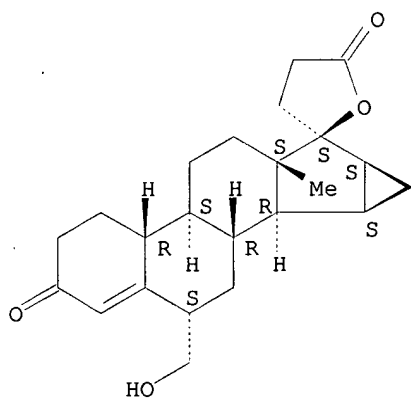
IT 101765-41-7P 101765-56-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and O-tosylation of)
 RN 101765-41-7 HCAPLUS
 CN 3'H-Cyclopropa[15,16]-18,19-dinorpregna-4,15-diene-21-carboxylic acid,
 13-ethyl-15,16-dihydro-17-hydroxy-6-(hydroxymethyl)-3-oxo-,
 .gamma.-lactone, (6.alpha.,15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

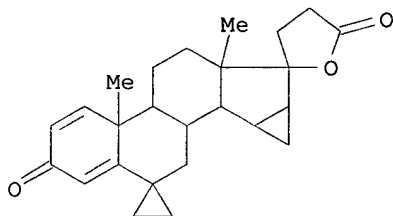


RN 101765-56-4 HCAPLUS
 CN 3'H-Cyclopropa[15,16]-19-norpregna-4,15-diene-21-carboxylic acid,
 15,16-dihydro-17-hydroxy-6-(hydroxymethyl)-3-oxo-, .gamma.-lactone,
 (6.alpha.,15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

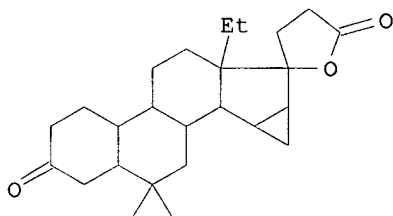
Absolute stereochemistry.



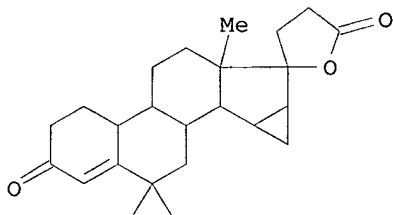
IT 101765-36-0P 101765-43-9P 101765-58-6P
 101834-18-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as **gestagen**, aldosterone antagonist, and agent
 for premenstrual syndrome treatment)
 RN 101765-36-0 HCAPLUS
 CN Dispiro[cyclopropane-1,6'(17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-
 17',2''(5'H)-furan]-3',5''(10'H)-dione, 3'',4'',7',8',9',11',12',13',14',
 15',16',20'-dodecahydro-10',13'-dimethyl-, [8'S-
 (8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.beta.,16'.beta.,17
 '.alpha.)]- (9CI) (CA INDEX NAME)



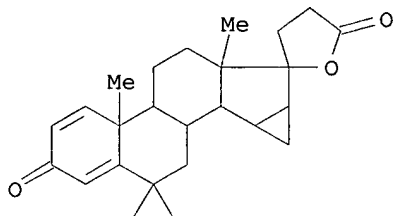
RN 101765-43-9 HCAPLUS
 CN Dispiro[cyclopropane-1,6'(17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-17',2''(5'H)-furan]-3',5''(2'H)-dione, 13'-ethylhexadecahydro-, [8'R-(8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.beta.,16'.beta.a.,17'.alpha.)]- (9CI) (CA INDEX NAME)



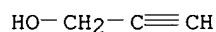
RN 101765-58-6 HCAPLUS
 CN Dispiro[cyclopropane-1,6'(17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-17',2''(5'H)-furan]-3',5''(2'H)-dione, 1',3'',4'',7',8',9',10',11',12',13',14',15',16',20'-tetradecahydro-13'-methyl-, [8'R-(8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.beta.,16'.beta.,17'.alpha.)]- (9CI) (CA INDEX NAME)



RN 101834-18-8 HCAPLUS
 CN Dispiro[cyclopropane-1,6'(17'H)-cyclopropa[15,16]cyclopenta[a]phenanthrene-17',2''(5'H)-furan]-3',5''(10'H)-dione, 3'',4'',7',8',9',11',12',13',14',15',16',20'-dodecahydro-10',13'-dimethyl-, [8'S-(8'.alpha.,9'.beta.,10'.alpha.,13'.alpha.,14'.beta.,15'.alpha.,16'.alpha.,17'.alpha.)]- (9CI) (CA INDEX NAME)



IT **107-19-7**
 RL: RCT (Reactant)
 (reaction of, with estrenone deriv.)
 RN 107-19-7 HCAPLUS
 CN 2-Propyn-1-ol (8CI, 9CI) (CA INDEX NAME)

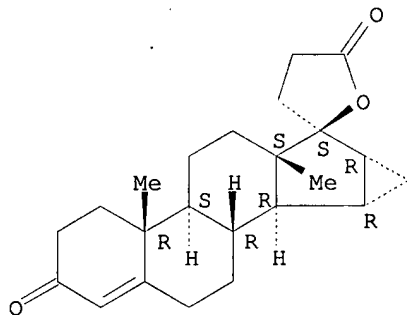


IT **123-75-1**, reactions
 RL: RCT (Reactant)
 (reaction of, with oxopregnenecarbolactones)
 RN 123-75-1 HCAPLUS
 CN Pyrrolidine (8CI, 9CI) (CA INDEX NAME)



IT **67372-62-7 67372-68-3**
 RL: RCT (Reactant)
 (reaction of, with pyrrolidine)
 RN 67372-62-7 HCAPLUS
 CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,
 15,16-dihydro-17-hydroxy-3-oxo-, .gamma.-lactone,
 (15.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

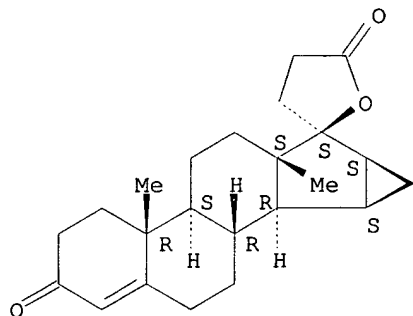


RN 67372-68-3 HCAPLUS
 CN 3'H-Cyclopropa[15,16]pregna-4,15-diene-21-carboxylic acid,

QAZI 09/619,493

15,16-dihydro-17-hydroxy-3-oxo-, .gamma.-lactone,
(15.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 5949-48-4 60919-46-2

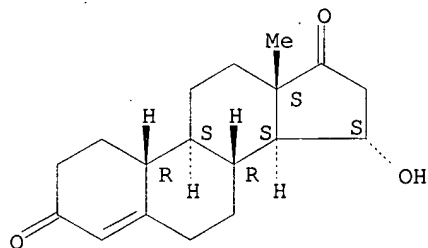
RL: RCT (Reactant)

(O-benzoylation of)

RN 5949-48-4 HCAPLUS

CN Estr-4-ene-3,17-dione, 15-hydroxy-, (15.alpha.)- (9CI) (CA INDEX NAME)

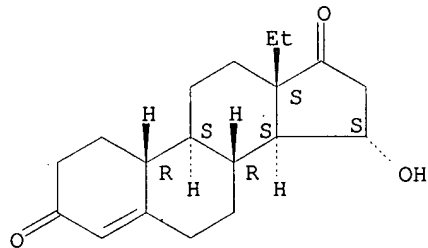
Absolute stereochemistry.



RN 60919-46-2 HCAPLUS

CN Gon-4-ene-3,17-dione, 13-ethyl-15-hydroxy-, (15.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 1

L44 ANSWER 1 OF 8 HCAPLUS' COPYRIGHT 2001 ACS

AN 2001:545492 HCAPLUS

DN 135:127209

TI Pharmaceutical compositions containing drospirenone for hormone replacement therapy

IN Heil, Wolfgang; Hilmann, Juergen; Lipp, Ralph; Schuermann, Rolf

PA Schering Aktiengesellschaft, Germany

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

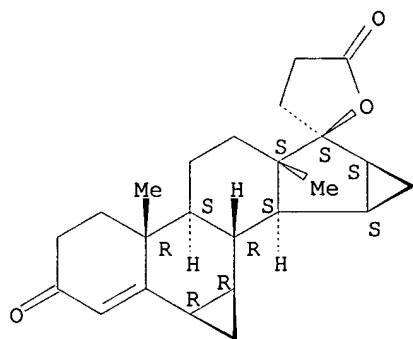
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001052857	A1	20010726	WO 2001-IB41	20010118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 2000-200183	A	20000118		
	US 2000-484026	A	20000118		
AB	A pharmaceutical compn. comprising as a first active ingredient an estrogen , such as estradiol or estradiol valerate, in sufficient amts. to treat disorders and symptoms assocd. with deficient endogenous levels of estrogen in women, and as a second active ingredient 6.beta., 7.beta.; 15.beta.; 16.beta.-dimethylene-3-oxo-17.alpha.-preg-4-ene-21, 17-carbolactone (drospirenone, DRSP) in sufficient amts. to protect the endometrium from the adverse effects of estrogen is useful for, amongst others, treating peri-menopausal, menopausal and post-menopausal women. This compn. may be used for hormone replacement therapy and may be administered as a multi-phased pharmaceutical prepn. This combination therapy may comprise continuous, sequential or interrupted administration, or combinations thereof, of DRSP and estrogen , each optionally in micronized form. Use of the compns. and method of treatment using the compns. are also specifically claimed.				
IT	67392-87-4D , Drospirenone, mixts. with estrogen RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. drospirenone and estrogen for treatment of diseases, disorders, and symptoms assocd. with deficient estrogen levels)				
RN	67392-87-4 HCAPLUS				
CN	Spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'(5'H)-furan]-3,5'(2H)-dione, 1,3',4',6,7,8,9,10,11,12,13,14,15,16,20,21-hexadecahydro-10,13-dimethyl-, (2'S,6R,7R,8R,9S,10R,13S,14S,15S,16S)-(9CI) (CA INDEX NAME)				

Absolute stereochemistry.



RE.CNT 2

RE

- (1) Saturnus; WO 9507081 A 1995 HCAPLUS
 (2) Schering; WO 9827929 A 1998 HCAPLUS

=> d ind

L44 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2001 ACS

IC ICM A61K031-585

ICS A61P005-30

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 2

ST drospirenone **estrogen** mixt hormone replacement therapy

IT Mammary gland

Urogenital tract

(atrophy; pharmaceutical compns. contg. drospirenone and
estrogen for treatment of diseases, disorders, and symptoms
 assocd. with deficient **estrogen** levels)

IT Skin

(condition; pharmaceutical compns. contg. drospirenone and
estrogen for treatment of diseases, disorders, and symptoms
 assocd. with deficient **estrogen** levels)

IT **Estrogens**

RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)

(conjugated, mixt. with drospirenone; pharmaceutical compns. contg.
 drospirenone and **estrogen** for treatment of diseases,
 disorders, and symptoms assocd. with deficient **estrogen**
 levels)

IT Cardiovascular system

(disease; pharmaceutical compns. contg. drospirenone and
estrogen for treatment of diseases, disorders, and symptoms
 assocd. with deficient **estrogen** levels)

IT Menopause

(disorder, hot flash; pharmaceutical compns. contg. drospirenone and
estrogen for treatment of diseases, disorders, and symptoms
 assocd. with deficient **estrogen** levels)

IT Sleep

(disorder; pharmaceutical compns. contg. drospirenone and
estrogen for treatment of diseases, disorders, and symptoms
 assocd. with deficient **estrogen** levels)

IT Hair

(distribution and thickness; pharmaceutical compns. contg. drospirenone
 and **estrogen** for treatment of diseases, disorders, and
 symptoms assocd. with deficient **estrogen** levels)

IT Uterus
(endometrium; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Ovary, disease
(failure; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Reproductive tract
(hypogonadism; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Emotion
(mood changes; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Drug delivery systems
(multi-phased; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Heart, disease
(palpitations; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Menopause
(perimenopause; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT **Anxiety**
Drug bioavailability
Drug delivery systems
Hormone replacement therapy
Menopause
(pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Menopause
(postmenopause; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Osteoporosis
(prevention; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Sweat
(sweating attacks; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT Drug delivery systems
(tablets; pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient **estrogen** levels)

IT 50-28-2D, **Estradiol**, sulfamates, mixt. with drospirenone
67392-87-4D, Drospirenone, mixts. with **estrogen**
164017-31-6 350818-73-4 350818-74-5 350818-75-6 350818-76-7
350818-77-8 350818-78-9 350818-79-0 350818-80-3 350818-81-4
350818-82-5 350818-83-6 350818-84-7 350818-85-8 350818-86-9
350818-87-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. drospirenone and **estrogen** for treatment of diseases, disorders, and symptoms assocd. with deficient

QAZI 09/619,493

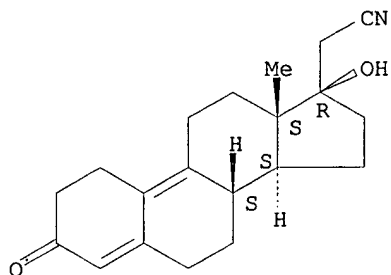
estrogen levels)

=> d bib abs hitstr 2

L44 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 2001:284758 HCAPLUS
 DN 135:102688
 TI Double-blind, placebo-controlled psychometric studies on the effects of a combined **estrogen**-progestin regimen versus **estrogen** alone on performance, **mood** and personality of menopausal syndrome patients
 AU Linzmayer, Leopold; Semlitsch, Heribert V.; Saletu, Bernd; Bock, Gerda; Saletu-Zyhlarz, Gerda; Zoghلامي, Ali; Gruber, Doris; Metka, Markus; Huber, Johannes; Oettel, Michael; Graser, Thomas; Grunberger, Josef
 CS Department of Psychiatry, University of Vienna, Vienna, Austria
 SO Arzneim.-Forsch. (2001), 51(3), 238-245
 CODEN: ARZNAD; ISSN: 0004-4172
 PB Editio Cantor Verlag
 DT Journal
 LA English
 AB The influence of a combined **estrogen**-progestin regimen (Climodien) on noopsyche, thymopsyche, personality and psychophysiol. measures of menopausal syndrome patients was investigated in a double-blind, placebo-controlled, comparative, randomized 3-arm trial phase (Climodien 2/3 = **estradiol** valerate (CAS 979-32-8) 2 mg + the progestin dienogest (CAS 65928-58-7) 3 mg = regimen A, **estradiol** valerate 2 mg = regimen EV, and placebo = regimen P) followed by an open-label phase in which all patients received Climodien 2/2 (**estradiol** valerate 2 mg + dienogest 2 mg) = regimen A*. 49 Women (16, 17, 16 valid patients per arm) aged between 46 and 67 yr (mean 58, 58, 56 yr, resp.) with the diagnoses of insomnia (G 47.0) related to postmenopausal syndrome (N 95.1) were included in the anal. of the double-blind phase. Both the double-blind and the open-label phase lasted 2 mo. Noopsyche investigations demonstrated an improvement in associative verbal memory after 2 mo of regimen A, which was significant as compared with both baseline and placebo. Regarding visual memory, regimen A* induced an improvement, which was significantly different from the decline in correct reproductions in the Benton Test obsd. under **estradiol**. Errors in the Benton Test decreased significantly after regimen A* as compared with regimen EV. These findings suggest that hormone replacement therapy with **estradiol**, and even more in combination with dienogest, improves verbal and visual memory, which is in line with the improvement in information processing speed and capacity objectified by event-related potentials (ERP). Thymopsychic investigations demonstrated a significant improvement in somatic complaints and trait **anxiety** after both regimen A and regimen EV as compared with baseline. State **anxiety** decreased significantly under regimen A* as compared with EV. The Freiburger Personality Inventory showed an improvement in aggressivity after regimen A* as compared with the preceding placebo as well as an improvement in striving after dominance after both regimen A and regimen EV as compared with pre-treatment, but also after regimen A* as compared with regimen EV. Extraversion increased after 2 mo of regimen A as compared to regimen P. Psychophysiol. findings including pupillary and skin conductance variables were not significant.
 IT 65928-58-7, Dienogest
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (psychometric studies on the effects of a combined **estrogen**-progestin regimen vs. **estrogen** alone on performance, **mood** and personality of menopausal syndrome patients)
 RN 65928-58-7 HCAPLUS
 CN 19-Norpregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17.alpha.)- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 38

RE

- (4) Backstrom, T; Acta Obstet Gynaecol Scand 1977, V66, P1 HCAPLUS
 - (12) Graser, T; Maturitas 2000, V35, P253 HCAPLUS
 - (16) Honjo, H; J Steroid Biochem 1989, V34, P521 HCAPLUS
 - (22) Oettel, M; Drugs Today 1995, V31, P517 HCAPLUS
 - (24) Purdie, D; Br J Obste Gynaecol 1995, V102, P735 HCAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

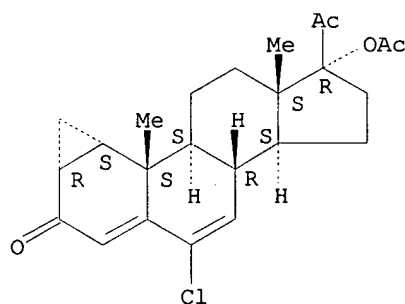
=> d ind 2

L44 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2001 ACS
CC 2-4 (Mammalian Hormones)
ST **estrogen** progestin combination **mood** personality
menopause
IT **Anxiety**
Behavior
Cognition
Emotion
Memory, biological
Menopause
 Mental activity
 (psychometric studies on the effects of a combined **estrogen**
 -progestin regimen vs. **estrogen** alone on performance,
 mood and personality of menopausal syndrome patients)
IT 979-32-8, **Estradiol** valerate 65928-58-7, Dienogest
307334-58-3, Climodien
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
 (psychometric studies on the effects of a combined **estrogen**
 -progestin regimen vs. **estrogen** alone on performance,
 mood and personality of menopausal syndrome patients)

=> d bib abs hitstr 3

L44 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 1999:5247 HCAPLUS
 DN 130:192068
 TI **Estrogen** and memory in a transsexual population
 AU Miles, Clare; Green, Richard; Sanders, Geoff; Hines, Melissa
 CS City University, London, UK
 SO Horm. Behav. (1998), 34(2), 199-208
 CODEN: HOBEAO; ISSN: 0018-506X
 PB Academic Press
 DT Journal
 LA English
 AB The assocn. between administered **estrogen** and performance on verbal memory and other cognitive tasks was examd. Male-to-female transsexuals undergoing **estrogen** treatment for sex reassignment (n = 29) scored higher on Paired Assoc. Learning (PAL) compared to a similar transsexual control group, awaiting **estrogen** treatment (n = 30) (P < 0.05). No differences between groups receiving and not receiving **estrogen** were detected on a control memory task (Digit Span) or on other cognitive tasks including **Mental** Rotations and Controlled Assocns. There were no group differences in age. Group differences in **mood** or in general intellectual ability also did not explain the findings. Results suggest a specific influence of **estrogen** in men on verbal memory tasks, similar to that seen in prior studies of women. They are discussed in terms of differential processing demands of the two memory tasks and possible differences between **estrogenic** influences on **Mental** Rotations and Controlled Assocns. in men vs. women. (c) 1998 Academic Press.
 IT 427-51-0, Androcur
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (estrogen and memory in transsexual population)
 RN 427-51-0 HCAPLUS
 CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 60

RE

(4) Barrett-Connor, E; J Am Med Assoc 1993, V269(20), P2637 HCAPLUS
 (23) Hampson, E; Psychoneuroendocrinology 1990, V15(2), P97 HCAPLUS
 (24) Hedges, L; Science 1995, V269, P41 HCAPLUS
 (29) Kampen, D; Behav Neurosci 1996, V110(3), P613 HCAPLUS
 (32) Kimura, D; Horm Behav 1995, V29(3), P312 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

QAZI 09/619,493

=> d bib abs hitstr 4

L44 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:430231 HCAPLUS

DN 129:77031

TI Therapeutic gestagens for premenstrual dysphoric disorder

IN Nashed, Norman

PA Schering A.-G., Germany

SO Ger. Offen., 4 pp.

CODEN: GWXXBX

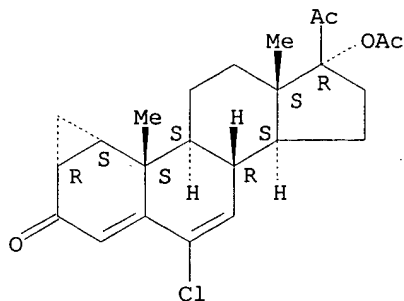
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19654609	A1	19980625	DE 1996-19654609	19961220
	WO 9827929	A2	19980702	WO 1997-DE3032	19971222
	WO 9827929	A3	19981105		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9859810	A1	19980717	AU 1998-59810	19971222
PRAI	DE 1996-19654609		19961220		
	WO 1997-DE3032		19971222		
AB	Gestagens such as drospirenone, cyproterone acetate, and dienogest (optionally in combination with natural or synthetic estrogens such as estradiol or ethynylestradiol) are useful in prepn. of medications for treatment of premenstrual dysphoric disorder, possibly owing to their antiandrogenic action. Thus, women with premenstrual dysphoric disorder, treated daily with 3 mg drospirenone and 30 .mu.g ethynylestradiol orally on days 1-21 of the menstrual cycle for 4-6 cycles, showed a lessening of symptoms related to mood , appetite, sleep, etc.				
IT	427-51-0, Cyproterone acetate 65928-58-7, Dienogest 67392-87-4, Drospirenone				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(therapeutic gestagens for premenstrual dysphoric disorder)				
RN	427-51-0 HCAPLUS				
CN	3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)				

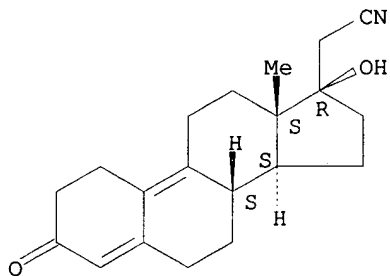
Absolute stereochemistry.



RN 65928-58-7 HCAPLUS

CN 19-Norpregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17.alpha.)- (9CI)
(CA INDEX NAME)

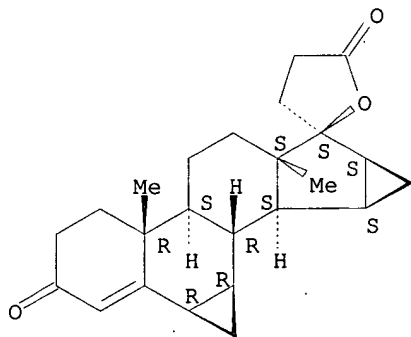
Absolute stereochemistry.



RN 67392-87-4 HCAPLUS

CN Spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'(5'H)-
furan]-3,5'(2H)-dione, 1,3',4',6,7,8,9,10,11,12,13,14,15,16,20,21-
hexadecahydro-10,13-dimethyl-, (2'S,6R,7R,8R,9S,10R,13S,14S,15S,16S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 5

L44 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:557633 HCAPLUS

DN 127:239118

TI Drug delivery systems containing ester sunscreens and penetration enhancers

IN Reed, Barry Leonard; Morgan, Timothy Matthias; Finnin, Barrie Charles

PA Monash University, Australia; Reed, Barry Leonard; Morgan, Timothy Matthias; Finnin, Barrie Charles

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

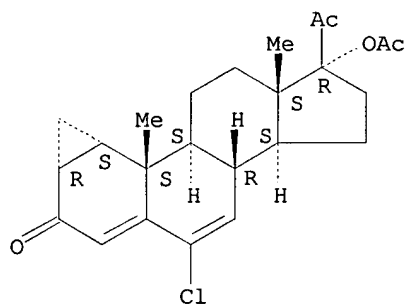
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9729735	A1	19970821	WO 1997-AU91	19970219
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9717134	A1	19970902	AU 1997-17134	19970219
	AU 706967	B2	19990701		
	EP 901368	A1	19990317	EP 1997-904304	19970219
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000504697	T2	20000418	JP 1997-528834	19970219
	AU 9952589	A1	19991202	AU 1999-52589	19991001
PRAI	AU 1996-8144		19960219		
	AU 1997-17134		19970219		
	WO 1997-AU91		19970219		
OS	MARPAT 127:239118				
AB	A transdermal drug delivery system which comprises at least one physiol. active agent or prodrug thereof and at least one dermal penetration enhancer; characterized in that the dermal penetration enhancer is a safe skin-tolerant ester sunscreen. A non-occlusive, percutaneous or transdermal drug delivery system which comprises: (1) an effective amt. of at least one physiol. active agent or prodrug thereof; (2) at least one non-volatile dermal penetration enhancer; and (3) at least one volatile liq.; characterized in that the dermal penetration enhancer is adapted to transport the physiol. active agent across a dermal surface or mucosal membrane of an animal, including a human, when the volatile liq. evaps., to form a reservoir or depot of a mixt. comprising the penetration enhancer and the physiol. active agent or prodrug within said surface or membrane; and the dermal penetration enhancer is of low toxicity to, and is tolerated by, the dermal surface or mucosal membrane of the animal. The mean flux of 2% ketoprofen in 70% vol./vol. aq. ethanol through shed snakes kinetics in presence of 2% octyl salicylate in 70% vol./vol. aq. ethanol was 27.66 as compared to 2.58 .mu.g/cm2.h for azone. A transdermal aerosol contained 17.beta.-estradiol 2, octyl dimethyl-p-aminobenzoate 8, ethanol 69, and di-Me ether 30%.				
IT	427-51-0, Cyproterone acetate				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(drug delivery systems contg. ester sunscreens and penetration enhancers)				
RN	427-51-0 HCAPLUS				

QAZI 09/619,493

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-
1,2-dihydro-, (1.β.,2.β.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ind 5

L44 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 IC ICM A61K007-42
 ICS A61K007-44; A61K047-14
 CC 63-6 (Pharmaceuticals)
 ST drug delivery system ester sunscreen; octyl salicylate ketoprofen
 penetration enhancer; transdermal aerosol **estradiol**
 aminobenzoate penetration enhancer
 IT Inhalants (drug delivery systems)
 (aerosols; drug delivery systems contg. ester sunscreens and
 penetration enhancers)
 IT Thrombosis
 (deep vein; drug delivery systems contg. ester sunscreens and
 penetration enhancers)
 IT Cystic fibrosis
 (diagnosis of; drug delivery systems contg. ester sunscreens and
 penetration enhancers)
 IT Acne
 Alopecia
 Androgen replacement therapy
 Antiemetics
Anxiety
 Asthma
 Blood pressure
 Hormone replacement therapy
 Impotence
 Malaria
 Migraine
 Motion sickness
 Permeation (biological)
 Postmenopause
 Raynaud's disease
 Sleep disorders
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Esters, biological studies
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological
 process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
 USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Organic solvents
 RL: NUU (Nonbiological use, unclassified); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Anti-Alzheimer's drugs
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antiandrogens
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antidepressants
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antiestrogens
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)

enhancers)
 IT Antihypertensives
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antimalarials
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antimigraine drugs
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antioxidants
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antiparkinsonian agents
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antipsychotics
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Antiviral agents
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Anxiolytics
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Appetite depressants
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Bronchodilators
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Emulsifying agents
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Hormones (animal), biological studies
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Nonsteroidal anti-inflammatory drugs
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Opioids
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Preservatives
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration
 enhancers)
 IT Prostaglandins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Stabilizing agents
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Surfactants
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Tranquilizers
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Transdermal drug delivery systems
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Prostate
 (enlargement of; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Breast tumors
 (**estrogen**-dependent; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Contraceptives
 (female; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Human herpesvirus
 (infection with; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Contraceptives
 (male; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT Venous diseases
 (varicose vein; drug delivery systems contg. ester sunscreens and penetration enhancers)

IT 118-60-5, Octyl salicylate 5466-77-3 58817-05-3, Octyl Dimethyl-p-aminobenzoate
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT 64-17-5, Ethanol, biological studies 67-63-0, Isopropanol, biological studies
 RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (drug delivery systems contg. ester sunscreens and penetration enhancers)

IT 51-34-3, Scopolamine 51-98-9, Norethisterone acetate 52-86-8, Haloperidol 57-63-6, **Ethinylestradiol** 57-83-0, Progesterone, biological studies 58-22-0, Testosterone 58-38-8, Prochlorperazine 69-23-8, Fluphenazine 73-31-4, Melatonin 83-74-9, Ibogaine 90-34-6, Primaquine 92-13-7, Pilocarpine 321-64-2, Tacrine 364-62-5, Metochlopramide **427-51-0**, Cyproterone acetate 437-38-7, Fentanyl 566-48-3, 4-Hydroxy-androstenedione 661-19-8, n-Docosanol 745-65-3, Alprostadil 2363-58-8, Epitiostanol 5104-49-4, Flurbiprofen 10540-29-1, Tamoxifen 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 18559-94-9, Salbutamol 22071-15-4, Ketoprofen 22204-53-1, Naproxen 22232-71-9, Mazindol 23031-25-6, Terbutaline 28981-97-7, Alprazolam 33564-30-6, MK 306 34911-55-2, Bupropion 35121-78-9, Prostacyclin

38304-91-5, Minoxidil 39562-70-4, Nitrendipine 52485-79-7,
Buprenorphine 53783-83-8, Tromantadine 61413-54-5, Rolipram
88150-42-9, Amlodipine 89365-50-4, Salmeterol 98319-26-7, Finasteride
99614-02-5, Ondansetron 99755-59-6, n0923 103628-46-2, Sumatriptan
107868-30-4, Exemestane 137099-09-3, Turosteride 146117-78-4, Ly191704
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery systems contg. ester sunscreens and penetration
enhancers)
IT 9039-48-9, Aromatase 9081-34-9, 5.alpha.-Reductase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; drug delivery systems contg. ester sunscreens and
penetration enhancers)
IT 59277-89-3, Acyclovir
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipophilic prodrugs of; drug delivery systems contg. ester sunscreens
and penetration enhancers)
IT 9005-49-6, Heparin, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(low mol. wt.; drug delivery systems contg. ester sunscreens and
penetration enhancers)

=> d bib abs hitstr 6

L44 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:491933 HCAPLUS

DN 125:158826

TI A combined regimen of cyproterone acetate and testosterone enanthate as a potentially highly effective male contraceptive

AU Meriggiola, M. Cristina; Bremner, William J.; Paulsen, C. Alvin; Valdiserri, Alessandro; Incorvaia, Loredana; Motta, Roberto; Pavani, Anna; Capelli, Maurizio; Flamigni, Carlo

CS Dep. of Obstetrics and Gynecology, Univ. of Bologna, Bologna, Italy

SO J. Clin. Endocrinol. Metab. (1996), 81(8), 3018-3023

CODEN: JCEMAZ; ISSN: 0021-972X

DT Journal

LA English

AB In this study the authors tested the effectiveness of the combined administration of cyproterone acetate (CPA) and testosterone enanthate (TE) in suppressing spermatogenesis. After a control phase of 3 mo, 15 normal men were randomized to receive TE (100 mg/wk) plus CPA at a dose of 100 mg/day (CPA-100) or 50 mg/day (CPA-50) or TE (100 mg/wk) alone for 16 wk. Semen anal. was performed every 2 wk. Every 4 wk, fasting blood samples were drawn for the measurement of LH, FSH, testosterone, **estradiol**, and biochem. and hematol. parameters; subjects underwent a phys. examn.; and they and their partners filled in a sexual and behavioral questionnaire. Regardless of the dose, each of the 10 subjects receiving CPA plus TE became azospermic, whereas only 3 of 5 subjects treated with TE alone achieved azoospermia. Times to azoospermia were 6.8, 8.4, and 14.0 wk in groups CPA-100, CPA-50, and TE alone, resp. (P = NS). Throughout treatment, both gonadotropins tended to be higher in the TE alone group than in the other groups. This difference was mostly due to the higher gonadotropin levels present in the 2 men treated with TE alone that remained oligospermic. No difference in testosterone or **estradiol** levels was found among the groups. No significant change in lipoprotein levels or liver function tests could be detected. In the CPA-100 and CPA-50 groups, Hb, hematocrit, and red blood cells were lower at the end of the treatment phase, whereas no change was detected in TE alone group. A tendency for a decrease in body wt. was detected in subjects treated with CPA, whereas there was not change in subjects receiving TE alone. At the end of the treatment phase, a decrease in testis size was present in all groups. There was no significant change in sexual function, aggressive behavior, **mood** states, or satisfaction with relationship in any group. These results suggest that the combined administration of CPA and TE is very effective in suppressing spermatogenesis and may represent a promising regimen for reversible contraception in males.

IT 427-51-0, Cyproterone acetate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

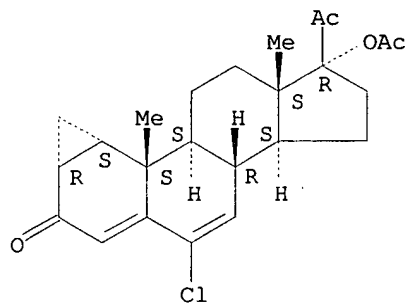
(a combined regimen of cyproterone acetate and testosterone enanthate as a potentially highly effective male contraceptive)

RN 427-51-0 HCAPLUS

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

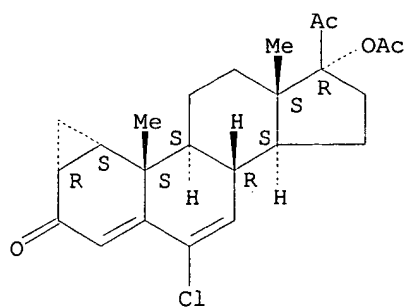
QAZI 09/619,493



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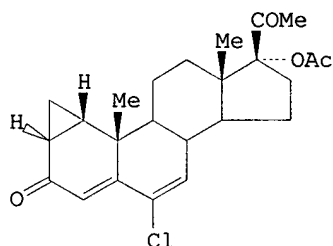
L44 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 1996:444603 HCAPLUS
 DN 125:133088
 TI Correlation of pinopod development on uterine luminal epithelial surface with hormonal events and endometrial sensitivity in rat
 AU Singh, M. M.; Chauhan, S. C.; Trivedi, R. N.; Maitra, S. C.; Kamboj, V. P.
 CS Div. Endocrinol. Electron Microscopy Lab., Central Drug Res. Inst., Lucknow, India
 SO Eur. J. Endocrinol. (1996), 135(1), 107-117
 CODEN: EJOEEP; ISSN: 0804-4643
 DT Journal
 LA English
 AB Intrinsic role of preovulatory and nidatory **estrogen** and progesterone and presence of viable blastocysts in utero in pinopod development on the uterine luminal epithelial surface and correlation between time of their development and onset of endometrial sensitivity were investigated. In adult rats, pinopods were obsd. on the entire epithelium even before secretion of nidatory **estrogen**, i.e. at 14.00 h on day 4 post-coitum (p.c.). Apparently, their no. increased, more so on the antimesometrial than the mesometrial side, at 10.00 h on day 5, but were fewer and mostly collapsed at 10.00 h on day 6. Pinopods on day 4 were located within epithelial **depressions** and foldings, but protruded from the surface on days 5 and 6. Normal pinopods were also present on day 8 p.c. in rats under delayed implantation, but an implantation-inducing dose of **estradiol-17.beta.** administered about 18 h earlier caused their collapse like that on day 6 in intact rats. Development and appearance of pinopods in intact or delayed rats was unaffected when native preimplantation embryos were prevented from entering the uterus. Normal pinopods were seen in immature rats receiving progesterone for at least 3 days or cyproterone acetate for 4 days, but not after **estradiol** alone. In animals receiving progesterone or priming/sensitizing **estradiol** in addn. to progesterone, the decidual response was suboptimal, irresp. of the presence of pinopods on the day of stimulation. In animals in which a condition mimicking preimplantation had been produced by suitable hormone supplementation, optimal endometrial sensitivity and decidual response were elicited, even though most pinopods, appeared collapsed, resembling those on day 6 in intact rats and about 18 h after **estradiol** in implantation-delayed rats. Findings confirm that pinopod development on uterine luminal epithelium was dependent on progesterone alone and demonstrate that: (i) preovulatory (priming) or nidatory (endometrial sensitizing) **estrogen** or viable blastocysts in utero have no role in their development. Nidatory **estrogen**, instead, appears to limit pinopod development by causing their collapse; (ii) pinopod development/presence on the endometrial surface might indicate the uterus coming into a period of sensitivity rather than actually being in it and might thus serve as a useful marker of "transfer window" rather than "implantation window"; (iii) in the rat, pinopod development might serve as an alternative assay for evaluation of progestational activity of newer test agents.
 IT 427-51-0, Cyproterone acetate
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (uterine luminal epithelial surface pinopod development correlation with hormonal events and endometrial sensitivity)
 RN 427-51-0 HCAPLUS
 CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 8

L44 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 1983:552385 HCAPLUS
 DN 99:152385
 TI Steroid inhibitors of androgen-potentiated actions on skin
 AU Ebling, F. J. G.; Randall, Valerie A.
 CS Dep. Zool., Univ. Sheffield, Sheffield, S10 2TN, UK
 SO J. Steroid Biochem. (1983), 19(1B), 587-90
 CODEN: JSTBBK; ISSN: 0022-4731
 DT Journal
 LA English
 GI



AB Antiandrogens, such as cyproterone acetate (I) [427-51-0], and **estrogens** both inhibit sebaceous secretion in rats and have potential for the treatment of hirsutism and acne in the human female. However, they act at different points. In castrated rats treated with testosterone [58-22-0], **estradiol** [50-28-2] (3 .mu.g/day) produced a greater decrease in sebum secretion than did a 1000-fold larger dose of I; moreover, I reduced the incidence of sebaceous mitosis, whereas **estradiol** did not. In hirsute women, oral administration of I (100 mg daily) caused a 40% redn. in sebum secretion within 10 days; a further 20% was subsequently produced by combined therapy with I and ethynylestradiol [57-63-6]. Significant decreases in the diam. and rate of growth of thigh hairs were not established until around the 4th monthly cycle of treatment. The actions were believed to be mainly peripheral, although contributory factors could also have been involved in the small but significant redns. in plasma androgens produced by I, and the marked increase in sex hormone-binding globulin produced by the **estrogen**. That it is theor. possible for I or **estradiol** to act locally follows from an unequivocal demonstration that either compd. produced a local **depression** of sebum secretion when applied topically to rats.

IT 427-51-0

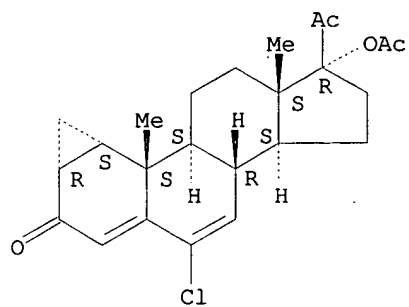
RL: BIOL (Biological study)
 (sebum secretion inhibition by **estrogens** and, in hirsute women and lab. animal)

RN 427-51-0 HCAPLUS

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

QAZI 09/619,493



=> d bib abs hitstr

148 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2001 ACS

AN 1986:142474 HCAPLUS

DN 104:142474

TI Pre- and postnatal influence of an estrogen antagonist and an androgen antagonist on differentiation of the sexually dimorphic nucleus of the preoptic area in male and female rats

AU Doehler, Klaus D.; Coquelin, Art; Davis, Fred; Hines, Melissa; Shryne, James E.; Sickmoeller, Petra M.; Jarzab, Barbara; Gorski, Roger A.

CS Sch. Med., UCLA, Los Angeles, CA, USA

SO Neuroendocrinology (1986), 42(5), 443-8

CODEN: NUNDAJ; ISSN: 0028-3835

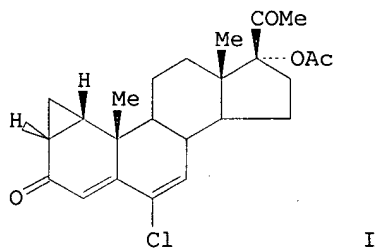
DT Journal

LA English

AB The vol. of the sexually dimorphic nucleus in the preoptic area (SDN-POA) of the rat brain is several-fold larger in adult male rats than in adult females. This sex difference in brain structure was previously shown to develop under the influence of androgenic and estrogenic hormones during the perinatal period. The differential role played by androgens and estrogens during development and differentiation of the SDN-POA was examd. by treating male and female rats during an extended pre- and postnatal period either with the estrogen antagonist tamoxifen [10540-29-1] or with the androgen antagonist cyproterone acetate [427-51-0]. Treatment with tamoxifen did not alter serum levels of testosterone in male rats during the perinatal period, but it inhibited development and differentiation of the SDN-POA. Pre- and postnatal treatment of male rats with cyproterone acetate resulted in female phenotypic appearance, but it had no influence on differentiation of the SDN-POA. Perinatal treatment of female rats with tamoxifen resulted in permanent **anovulatory** sterility, but did not influence SDN-POA differentiation. Treatment of female rats with cyproterone acetate had no influence on SDN-POA differentiation or on the capacity to **ovulate**. Since pre- and postnatal treatment of male rats with cyproterone acetate is known from previous studies to feminize sexual **behavior** patterns and to retain the mode for cyclic gonadotropin release, and since the same treatment did not influence differentiation of the SDN-POA in the present study, it may be concluded that the SDN-POA is not directly involved in the control of female sexual **behavior** and in the control of the gonadotropic hormone release pattern. The results further indicate that development and differentiation of the SDN-POA is primarily under estrogenic, not androgenic, control.

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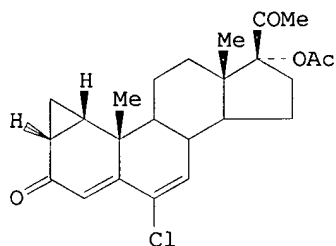
L48 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2001 ACS
 AN 1980:438017 HCAPLUS
 DN 93:38017
 TI Effect of cyproterone acetate on steroid-induced sexual behavior in adult ewes
 AU Fabre-Nys, C.; Signoret, J. P.
 CS Stn. Physiol. Reprod., Inst. Natl. Rech. Agron., Nouzilly, 37380, Fr.
 SO Pharmacol., Biochem. Behav. (1980), 12(3), 359-63
 CODEN: PBBHAU; ISSN: 0091-3057
 DT Journal
 LA English
 GI



AB The inhibitory effect of cyproterone acetate (I) [427-51-0] on sexual **behavior** was investigated in adult **ovariectomized** ewes treated with either testosterone propionate [57-85-2] (10 mg/day) or estradiol benzoate [50-50-0] (200 .mu.g/day) to induce male activity and female receptivity simultaneously. The i.m. injections of 100 mg I/day rapidly decreased some of the male sexual responses after both testosterone and estradiol treatments, whereas the female reactions were eliminated by I only when they had been induced by testosterone. I may prevent the formation of estradiol from testosterone or I may act as an antiestrogen as well as an antiandrogen.

=> d bib abs hitstr 3

L48 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2001 ACS
 AN 1978:58813 HCAPLUS
 DN 88:58813
 TI Longitudinal study of the behavior of certain hormonal parameters in women undergoing treatment with cyproterone acetate
 AU Giusti, M.; Parazzi, F.; Reitano, A.; Bolognesi, F.; Giordano, G.
 CS Sci. Inst. Intern. Med., Univ. Genoa, Genoa, Italy
 SO Acta Eur. Fertil. (1977), 8(3), 211-28
 CODEN: AEFTAA
 DT Journal
 LA English/Italian
 GI



AB A study was made of the **behavior** of certain hormonal parameters in blood serum (LH [9002-67-9], FSH [9002-68-0], prolactin [9002-62-4], growth hormone (HGH) [9002-72-6], ACTH [9002-60-2], cortisol [50-23-7], and testosterone [58-22-0]) in 5 women aged from 17 to 28 yr, during 2 successive **menstrual** cycles, the 1st under basal conditions and the 2nd while under treatment with cyproterone acetate (I) [427-51-0] (100 mg/day), given from day 5 to 25 of the cycle. On the 1st day of the initial cycle and the 29th day of the 2nd cycle, the secretions of gonadotropins, prolactin, and somatotropin were measured before and after stimulation with gonadotropin-releasing hormone (GnRH) [9034-40-6] (50 .mu.g, i.v.), sulpiride (200 mg, i.m.) or insulin (0.1). During treatment with I the **ovulatory** peak of LH was abolished with a redn. in the levels of gonadotropin and testosterone. No changes were obsd. for prolactin, HGH, ACTH or cortisol. The study of the pituitary reserves showed a reduced response of LH, but not FSH, to GnRH. There were no changes in the response of prolactin and HGH to stimulation. Apparently, I has an inhibitory effect on gonadotropin and testosterone secretion, whereas the other parameters studied did not vary.

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L48 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2001 ACS
 AN 1973:53045 HCAPLUS
 DN 78:53045
 TI Importance of androgens in imprinting patterns in the brain
 AU Neumann, F.; Elger, W.; Steinbeck, H.
 CS Hauptlab., Schering A.-G., Berlin, Ger.
 SO Zentralnerv. Sexualsteuerung, Verh. Symp. Deut. Neuroveg. Ges. (1971),
 Meeting Date 1969, 296-309. Editor(s): Orthner, Hans. Publisher:
 Springer, Vienna, Austria.
 CODEN: 26DBAO
 DT Conference
 LA German
 AB Treatment of newborn male rats with the antiandrogen cyproterone acetate
 (I) [427-51-0] resulted in permanent feminization of
behavior patterns and of patterns of gonadotropin secretion.
 Androgens appeared to act less by imprinting masculine sexual
behavior than by suppressing differentiation of centers which
 regulate feminine sexual **behavior**. Newborn male rats were
 treated with I (0.3 mg/day s.c.). On reaching adulthood, they were
 castrated and had **ovaries** implanted intraocularly. These rats
 showed cyclic secretion of gonadotropins typical of females as well as
 feminine **behavior** patterns with respect to sexual
behavior, maternal instinct, and aggressiveness. Thus, the
 hypothalamic sites regulating gonadotropin secretion were induced to
 differentiate according to the feminine pattern by the absence of
 androgens at a certain phase of development. Dogs treated with I during
 fetal life (treatment of the mothers from the 23rd day of pregnancy with
 10 mg I/kg/day i.m.) showed feminization of the genitals and bisexual
behavior. Prolactin secretion also appeared to differ in male and
 female rats because of the effect of androgens in males during embryonic
 development.